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**Title :** Cyclovirobuxinum D. drop pills and its preparing method

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**Priority Information :**

The patent refers to the field of 'pharmaceutical preparations'. A dropping pill of cyclovirobuxine D is made from cyclovirobuxine D and at least one water-soluble matrix chosen from polyethylene glycol (PEG), poloxamer (F68), sodium stearate,

**Abstract :** polyoxyvinyl monostearate (S40), and glycerine gelation through dissolving cyclovirobuxine D in absolute alcohol, mixing with fused matrix, stirring and dropping in cooler. Its advantages are high stability and dissolving speed, and high biologic utilization rate.

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## Claims

1. A kind of cyclovirobuxinum D Drop pill, characterized by: Said Drop pill contains cyclovirobuxinum D and at least a water soluble base.
2. Drop pill of claim 1, characterized by: Said water soluble base can be polyglycol (PEG), Poloxamer (F<sub>68</sub>), sodium stearate, polyethylene glycol mono stearate (S<sub>40</sub>), one or more of mixed substrates in the glycerogelatin.
3. Drop pill of claim 1 or 2, characterized by: Said water soluble base is a polyglycol among 400-6000 of molecular weight.
4. Drop pill of claim 3, characterized by: Said water soluble base is a mixed substrate of polyglycol 6000 and PEG 400.
5. Drop pill of claim 1, characterized by: The content of cyclovirobuxinum D of active ingredient is 0.5-10% in said Drop pill.
6. The preparation method of Drop pill as described in claim 1, mainly include cyclovirobuxinum D is dispersed and cool, shape in the water soluble base, characterized by that: Put the cyclovirobuxinum D dissolved in absolute ethanol into the molten substrate, stir, then drop it into coolant and drip to make pill.
7. The preparation method as described in claim 6, characterized by: Said coolant can be methyl silicone oil, liquid paraffin or vegetable oil.

## Cyclovirobuxinum D Drop pill and its preparation method

### A field

The invention involves a preparation which extracts the Chinese medicinal active ingredient got and its preparation method. To be precise it is a cyclovirobuxinum D Drop pill and its preparation method. Second, background art

Explore from the treasure-house of traditional Chinese medicine of our country out cyclovirobuxinum D, it is after extracting refinedly in Buxaceae plant foliolar *Buxus sinica* Buxus microphylla Sieb. et Zucc. Var. *Sinica* Rehd. et Wils. and its congeneric plant Effective component got. Promote vital energy and blood circulation, analgesic efficacy, the regret of chest pain used for due to stagnation of vital energy and blood stasis clinically of expelling collateral obstruction, the clavus is taken the place of; Coronary heart disease, person who has above-mentioned syndrome of arrhythmia. Because its good therapeutic effect, Yang Ning slice Huang of cyclovirobuxinum D and its preparation is recorded by Chinese Pharmacopoeia (2000 editions), Yang Ning slice Huang is still included in the national Chinese medicinal essential drugs and national medical care insurance and uses medicine, and belong to national Chinese medicine and protect the variety.

Cyclovirobuxinum D is in acute symptoms such as clinical, therapeutic setting and coronary heart disease, arrhythmia, etc., tablet releases medicaments slow, it is very difficult to give play to its curative effect effectively rapidly.

Drop pill is a comparatively novel pharmaceutical preparation, compared with ordinary tablet, it has curative effect rapidly, such advantages as bioavailability high, minus effect is small.

Study the report through searching the patent, literature without cyclovirobuxinum D Drop pill so far both at home and abroad, have not also seen that there are products that go on the market. Third, invention content

New formulation of cyclovirobuxinum D that the invention provides-Drop pill, aim at improving dissolution and bioavailability of cyclovirobuxinum D, in order to satisfy the clinical need. The problem that should be solved first is to select the water-soluble substrate (also call the carrier, the supplementary product, etc.) as the disperse medium, i.e. the disperse system that this kind of Drop pill is mainly formed by active ingredient cyclovirobuxinum D and at least a water soluble base.

Said water soluble base mainly means water soluble polymeric compound, organic acid et al carbohydrate, etc., for example: Polyglycol (PEG), Poloxamer ( $F_{68}$ ), sodium stearate, polyethylene glycol mono stearate ( $S_{40}$ ), glycerogelatin, etc., it is all right use singly, whose name is getting all more right amphi

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The above is used in admixture.

Water soluble base on it is preferred polyglycols, especially molecular weight can polyglycol between 400 and 6000, or both use in admixture, for example, PEG400, PEG6000 use in admixture.

Chinese Pharmacopoeia (2000 editions) stipulates the preparation specification of cyclovirobuxinum D is 0.5mg, 1mg, Drop pill made of and Chinese medicine, its pill is serious generally among 10-100mg. Prepare Drop pill according to specification of this preparation, the content of active ingredient cyclovirobuxinum D is 0.5-10% (weight percent, same below), I am a substrate.

The preparation method of this Drop pill mainly includes and disperses cyclovirobuxinum D and cool in the water soluble base, shape, characterized by that: Dissolve cyclovirobuxinum D powder in absolute ethanol, add into molten substrate and stir after dissolving, drop it into coolant and drip to make pill finally.

Said coolant can be methyl silicone oil, liquid paraffin or vegetable oil, etc..

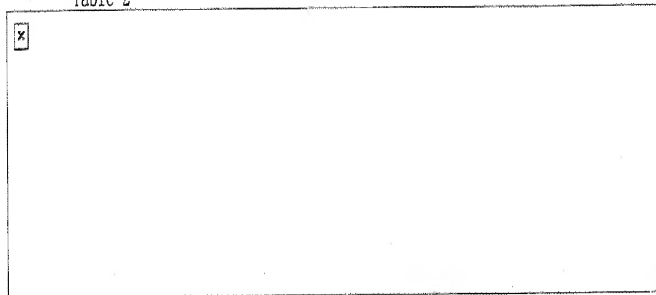
The appearance color and luster of this Drop pill is good, smooth, it is homogeneous to round degree, the no adhesions while shaping, there are not flocculations, dissolve the small closing time of powder, accord with the demands for regulation of Chinese Pharmacopoeia.

This Drop pill investigates its stability under the conditions of temperature 40 °C, relative humidity 75%, result show in Table 1. express by 1

Dissolve the content of closing time of powder (%) 0 months 4 ' 40 98.901 months 5 ' 00 98.973 months 5 ' 50 97.726 months 6'20 97.10
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Put this Drop pill and cyclovirobuxinum D tablet at 37 5 °C, make and dissolve to accumulate and release the matching test in 0.05mol/L monometallic sodium orthophosphate damping fluid, this Drop pill releases degree and reaches 91% 10 minutes later, and tablet releases only 44% of degree, results are shown in Table 2.

Table 2



Fourth, specific

embodiment

Now in order to process 1000 pills, every pill includes cyclovirobuxine D0.5mg to the example, nonlimiting implement

The example is narrated as follows.

Apply cyclovirobuxinum D and pulverize the 100-meshed sieve, spare.

1. Apply cyclovirobuxinum D powder (hereafter referred to as A) 0.5g, put into 5-15ml absolute ethanol and stir, make it totally dissolve, then add nonaqueous alcoholic solution into 34.5g molten PEG6000 or PEG400, fully stir in molten condition, make the active ingredient disperse, drop into the methyl silicone oil of coolant finally evenly, drip to make 1000 pills to get final product, every pill heavy 35mg.

2. Fetch A0.5g, S<sub>40</sub> 44.5g, operates it with the embodiment 1, every pill heavy 45mg.

3. Apply A0.5g, sodium stearate 35.5g, operates it with the embodiment 1, every pill heavy 36mg.

4. Apply A0.5g, glycerogelatin 30.5g, operates it with the embodiment 1, every pill heavy 3mg.

5. Fetch A0.5g, PEG6000 27g, F<sub>68</sub> 4.5g, operates it with the embodiment 1, every pill heavy 32mg.

6. Fetch A0.5g, sodium stearate 15g, S<sub>40</sub> 15.5g, operates it with the embodiment 1, every pill heavy 31mg.

7. Fetch A0.5g, glycerogelatin 15g, F<sub>68</sub> 15g, PEG6000 10.5g, operates it with the embodiment 1, every pill heavy 41mg.

8. Apply A0.5g, PEG6000 27g, PEG400 5.5g, operates it with the embodiment 1, every pill heavy 33mg.

-- 3 --